

**2-acyl indol derivatives and their use as anti-tumour agents.****Publication number:** ZA200209137 (A)**Also published as:****Publication date:** 2004-06-18

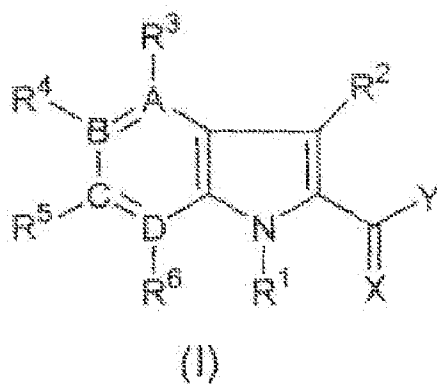
DE10020852 (A1)

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Abstract not available for ZA 200209137 (A)

Abstract of corresponding document: **DE 10020852 (A1)**

The use of 2-acyl-indole derivatives (including aza analogs) (I) as antitumor agents is new. Most compounds (I) are new. The use of indole derivatives of formula (I) (including their stereoisomers, tautomers, mixtures and salts) is claimed in the preparation of medicaments for treating tumor diseases.; R<sup>1</sup> = H, alkylcarbonyl, alkyl, alkylamino-T-, dialkylamino-T- (where the dialkylamino group may form a ring, optionally containing one or more NH, N(alkyl), O or S members), arylalkyl or arylalkoxyalkyl; T = 1-4C alkyl; R<sup>2</sup> = H, halo, CN or NO<sub>2</sub>, alkyl or alkoxy (both optionally substituted (os) by one or more halo), or alkenyl, alkynyl, cycloalkyl, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkylcarbonyloxy, ST, SOT, SO<sub>2</sub>T, alkoxyalkyl, NH<sub>2</sub>, alkylamino, dialkylamino (possibly forming a ring as in R<sup>1</sup>), aryl, aryloxy, aryl-T-, aryl-T-O-T-, alkylcarbonyl, alkoxyalkyl or OH; A<sup>1</sup>-A<sup>4</sup> = C-R<sup>3</sup> or N; ; R<sup>3</sup> = H, halo, CN or NO<sub>2</sub>, alkyl or alkoxy (both os by one or more halo); or alkenyl, alkynyl, cycloalkyl, alkoxy, 1-6C alkylendioxy, alkoxyalkyl, alkoxy, 1-6C alkylendioxy, alkoxyalkyl, alkoxyalkoxy, ST, SOT, SO<sub>2</sub>T, COOH, alkoxyalkyl, CONH<sub>2</sub>, CONHT, CON(T)<sub>2</sub>, alkoxyalkyl, NH<sub>2</sub>, alkylamino, dialkylamino (possibly forming a ring as in R<sup>1</sup>), aryl, aryloxy, aryl-T-, aryl-T-O-T-, alkylcarbonyl or OH, or two adjacent R<sup>3</sup> groups may be bonded, specifically as 1-6C alkylendioxy; Y = aryl (preferably phenyl or naphthyl), 1-13C heteroaryl (containing 1-4 of N, NH, N(alkyl), O and/or S as ring members) or cycloalkyl, all os by one or more Q; ; Q = halo, CN, cyanoalkyl, OH, mono- or polyhydroxyalkyl, COOH, alkoxyalkyl, CONH<sub>2</sub>, alkylcarbonyl, CON(T)<sub>2</sub>, NO<sub>2</sub>, alkyl or alkoxy (both os by one or more halo), alkenyl, alkynyl, cycloalkyl, SH, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxyalkyl, NH<sub>2</sub>, alkylamino, dialkylamino (possibly forming a ring as in R<sup>1</sup>), aryl, aryloxy, arylalkyl, arylalkoxyalkyl, alkylcarbonyl, alkoxyalkyl, alkylcarbonyloxy, mono- or dialkylcarbonylamino, mono- or dialkoxyalkylamino, N-alkylcarbonyl-N-alkylamino, N-alkoxyalkyl-N-alkylamino, NHCHO or CHO; or two adjacent Q groups may be bonded, specifically as 1-6C alkylendioxy, and X = O, S, NH or (H, OH); unless specified otherwise, alkyl moieties have 1-6C, alkenyl or alkynyl moieties 2-6C, cycloalkyl moieties 3-8C and aryl moieties 6-14C.; Independent claims are included for the following: (a) new (I) (including their stereoisomers, tautomers, mixtures and salts), with the exception of (I; R<sup>1</sup>, R<sup>2</sup> = H; A<sup>1</sup>, A<sup>3</sup>, A<sup>4</sup> = CH; X = O or (if A<sup>2</sup> = CH) CH(OH); Y = 3-carboxy-pyridin-4-yl; A<sup>2</sup> = CH or C(OMe)), 2-cyclopropylcarbonyl-indole and 2-cyclohexylcarbonyl-indole; and (b) preparation of the new compounds (I).

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